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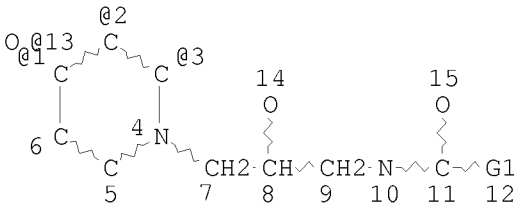

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NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 11093 ITERATIONS 3 ANSWERS
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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 187.80 188.02

FILE 'CAPLUS' ENTERED AT 10:31:55 ON 03 DEC 2009
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FILE COVERS 1907 - 3 Dec 2009 VOL 151 ISS 23
FILE LAST UPDATED: 2 Dec 2009 (20091202/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC)

reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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L4           2 L3

=> d bib abs hitstr 1-2

L4   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2003:656742  CAPLUS
DN   139:197375
TI   Preparation of piperidinyl alcohols as chemokine receptor modulators for
     treatment of diseases such as asthma
IN   Alcaraz, Lilian; Furber, Mark; Purdie, Mark; Springthorpe, Brian
PA   Astrazeneca A.B., Swed.
SO   PCT Int. Appl., 166 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2003068743        A1    20030821    WO 2003-SE258           20030217
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     AU 2003206554         A1    20030904    AU 2003-206554          20030217
     AU 2003206554         B2    20090507
     BR 2003007477         A     20041109    BR 2003-7477            20030217
     EP 1478624            A1    20041124    EP 2003-705600          20030217
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     CN 1633414            A     20050629    CN 2003-804130          20030217
     CN 100352807          C     20071205
     JP 2005525341         T     20050825    JP 2003-567874          20030217
     NZ 534296             A     20060127    NZ 2003-534296          20030217
     NZ 541682             A     20060526    NZ 2003-541682          20030217
     CN 1907968            A     20070207    CN 2006-10110091        20030217
     RU 2330019            C2    20080727    RU 2004-122114          20030217
     SG 149695             A1    20090227    SG 2006-5606            20030217
     IN 2004DN02041        A     20050401    IN 2004-DN2041          20040715
     MX 2004007906         A     20041015    MX 2004-7906            20040813
     ZA 2004006509         A     20050915    ZA 2004-6509            20040816
     US 20050107428        A1    20050519    US 2004-504936          20040817
     NO 2004003899         A     20041117    NO 2004-3899            20040917
     IN 2007DN09369        A     20080215    IN 2007-DN9369          20071205
PRAI SE 2002-465           A     20020218
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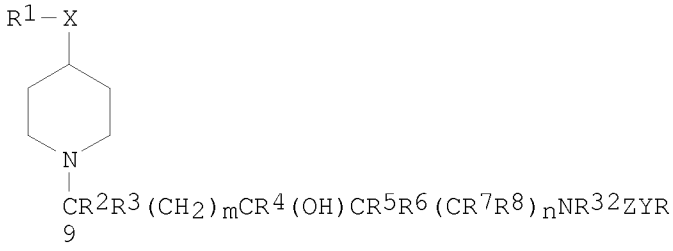
SE 2002-2673 A 20020909
CN 2003-804130 A3 20030217
NZ 2003-534296 A1 20030217
WO 2003-SE258 W 20030217
IN 2004-DN2041 A3 20040715
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 139:197375; MARPAT 139:197375
GI



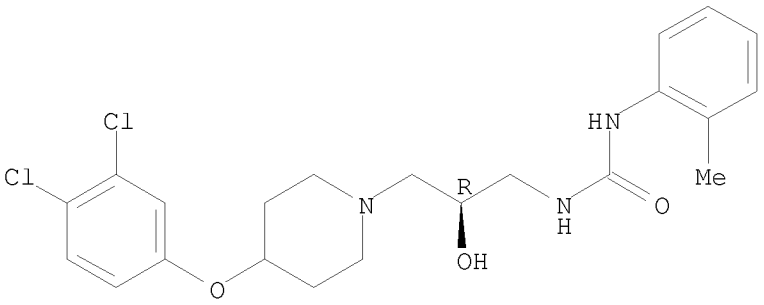
I

AB The invention provides piperidinyl alcs. (shown as I; variables defined below; e.g. N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-(methylsulfonyl)benzamide) for use as modulators of chemokine receptor (especially CCR3) activity for use in, for example, treating asthma. For I: X is CH2, O, S(O)2 or NR10; Y is a bond, CH2, NR35, CH2NH, CH2NHC(O), CH(OH), CH(NHCOR33), CH(NHSO2R34), CH2O or CH2S; Z is C(O), or when Y is a bond Z can also be S(O)2; R1 is (un)substituted aryl, (un)substituted heterocyclyl or C4-6 cycloalkyl fused to a benzene ring; addnl. details are given in the claims. Percent inhibition at 3 nM eotaxin of eotaxin-mediated human eosinophil chemotaxis is tabulated for 16 examples of I, e.g. 106 % for N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-dihydroisoquinoline-4-carboxamide. Histamine H1 receptor binding activity was determined for the same compds., e.g. pKi = 8.4 for N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-dihydroisoquinoline-4-carboxamide. 49 Example prepns. of intermediates and 234 of I are included. For example, to prepare N-[(2R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-(methylsulfonyl)benzamide (0.055 g), a mixture of 2-(methylsulfonyl)benzoic acid (0.063 g), (2R)-1-amino-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]propan-2-ol (0.1 g) and N,N-diisopropylethylamine (0.1 mL) in dry DMF (3 mL) was cooled to 0° with stirring; 2-(1H-9-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (0.13 g) was added and the mixture was stirred at 0° for 1-2 h. The invention also provides a process for making 4-(3,4-dichlorophenoxy)piperidine, which is useful as an intermediate for making certain compds. of the invention. The process comprises (a) reacting 4-hydroxypiperidine with a suitable base in a suitable solvent at room temperature; and (b) heating the mixture so produced and 1,2-dichloro-4-fluorobenzene at 50-90°, or at reflux of the solvent used.

IT 583882-31-9P, 1-[(R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-3-o-tolylurea 583882-32-0P, 1-[(R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-3-p-tolylurea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidinyl alcs. as chemokine receptor

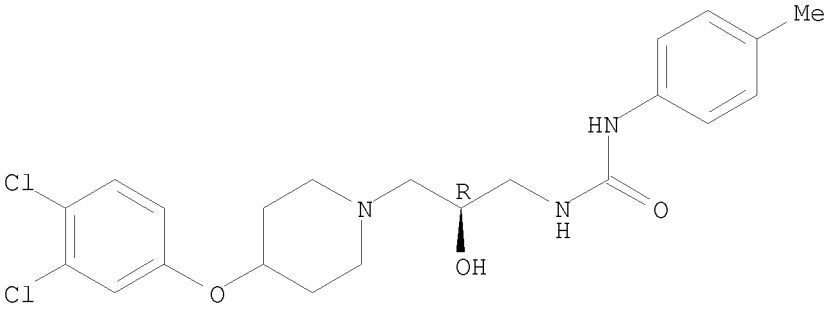
modulators for treatment of diseases such as asthma)
RN 583882-31-9 CAPLUS
CN Urea, N-[(2R)-3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-hydroxypropyl]-N'-(2-methylphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 583882-32-0 CAPLUS
CN Urea, N-[(2R)-3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-hydroxypropyl]-N'-(4-methylphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:173585 CAPLUS
DN 138:221471
TI Preparation of piperidine derivatives as modulators of chemokine receptor activity
IN Evans, Richard; Perry, Matthew; Springthorpe, Brian
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003018556	A1	20030306	WO 2002-SE1401	20020719
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CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

AU	2002321969	A1	20030310	AU 2002-321969	20020719
EP	1412330	A1	20040428	EP 2002-756046	20020719
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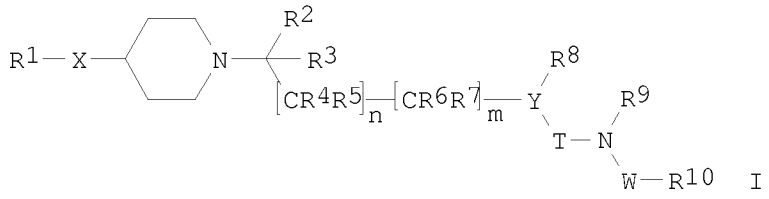
JP	2005503394	T	20050203	JP 2003-523220	20020719
US	20040176411	A1	20040909	US 2004-483138	20040108
US	7265227	B2	20070904		
PRAI GB	2001-17899	A	20010723		
WO	2002-SE1401	W	20020719		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 138:221471; MARPAT 138:221471
GI



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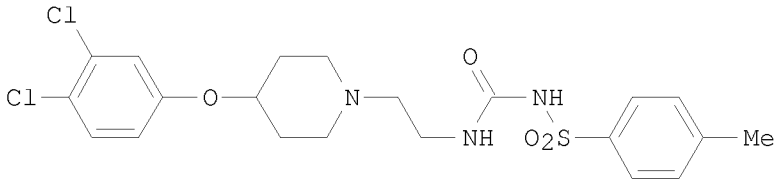


II

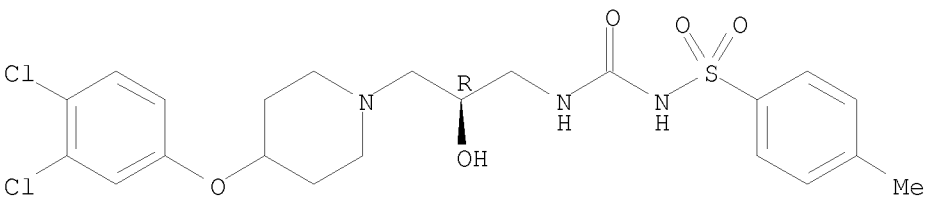
AB The title compds. [I; T = CO, SO2; W = CO, SO2; X = CH2, O, NH; Y = CR11, N; n = 0-2; m = 1 or, when Y = CR11, m = 0; R1 = (un)substituted aryl, heterocyclyl; R2-R8 = H, alkyl optionally substituted by OH; R9 = H, alkyl; R10 = alkyl, (un)substituted aryl, aralkyl, heterocyclyl; R11 = H, alkyl] which are modulators of chemokine (especially CCR3) activity and are especially useful for treating asthma and/or rhinitis, were prepared and formulated. Thus, reacting 4-(3,4-dichlorophenoxy)-1-piperidineethanamine (preparation given) with 4-methylbenzenesulfonyl isocyanate in CH2Cl2 afforded II which was found to be an antagonist of the eotaxin mediated human eosinophil chemotaxis in calcium flux [Ca2+]i assay, and H1 antagonist when tested in Guinea-pig isolated trachea.

IT 500859-22-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as modulators of chemokine receptor activity)

RN 500859-22-3 CAPLUS
CN Benzenesulfonamide, N-[[[(2R)-3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-

hydroxypropyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT